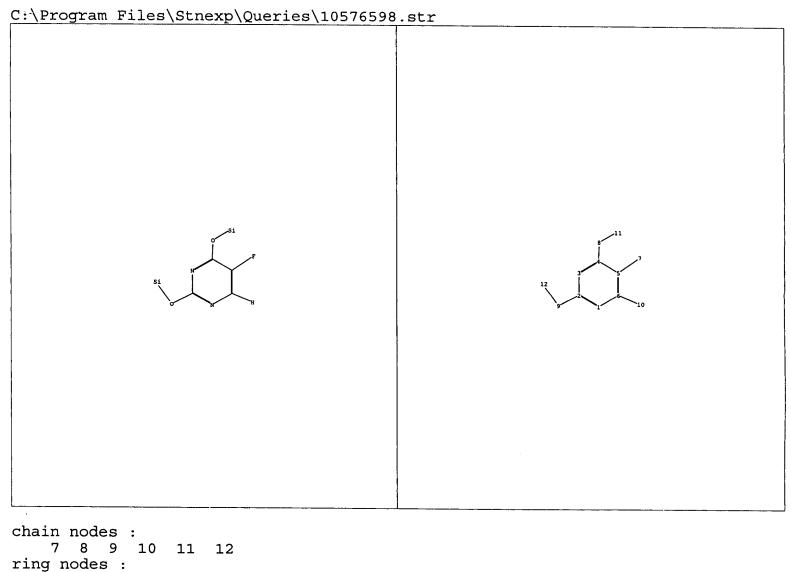
EAST Search History

Ref #	Hits	Search Query	DBs	Default Operator	Plurals	Time Stamp
L1	136	536/28.4	US-PGPUB; USPAT; EPO; JPO; DERWENT	OR	OFF	2007/10/01 09:17
L2	707	536/55.3	US-PGPUB; USPAT; EPO; JPO; DERWENT	OR	OFF	2007/10/01 09:34
L3	53	giorgio.inv. and Bertolini.inv.	US-PGPUB; USPAT; EPO; JPO; DERWENT	OR	OFF	2007/10/01 09:36
L4	94	Marco.inv. and Frigerio.inv.	US-PGPUB; USPAT; EPO; JPO; DERWENT	OR	OFF	2007/10/01 09:36
S1	. 3	("4340729").PN.	US-PGPUB; USPAT; EPO; JPO; DERWENT	OR	OFF	2007/09/25 15:08
S2	251	5'-deoxy-5-fluorouridine	US-PGPUB; USPAT; EPO; JPO; DERWENT	OR	OFF	2007/10/01 09:17



1:Atom 2:Atom 3:Atom 4:Atom 5:Atom 6:Atom 7:CLASS 8:CLASS 9:CLASS

```
ring nodes:
    1 2 3 4 5 6

chain bonds:
    2-9 4-8 5-7 6-10 8-11 9-12

ring bonds:
    1-2 1-6 2-3 3-4 4-5 5-6

exact/norm bonds:
    2-9 4-8

exact bonds:
    5-7 6-10 8-11 9-12

normalized bonds:
    1-2 1-6 2-3 3-4 4-5 5-6

isolated ring systems:
    containing 1:
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10:CLASS 11:CLASS 12:CLASS

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                 USPATOLD now available on STN
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         AUG 28
                 spectral property data
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         SEP 13
                 FORIS renamed to SOFIS
NEWS 20
         SEP 13
                 INPADOCDB enhanced with monthly SDI frequency
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                 CA/CAplus enhanced with printed CA page images from
         SEP 17
                 1967-1998
NEWS 22
         SEP 17
                 CAplus coverage extended to include traditional medicine
                 patents
         SEP 24
                 EMBASE, EMBAL, and LEMBASE reloaded with enhancements
NEWS 23
NEWS EXPRESS 19 SEPTEMBER 2007: CURRENT WINDOWS VERSION IS V8.2,
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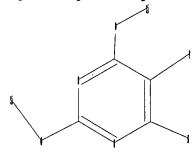
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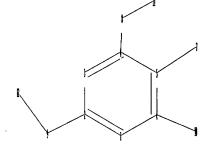
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chain nodes : 7 8 9 10 11 12 ring nodes : 1 2 3 4 5 chain bonds : 2-9 4-8 5-7 6-10 8-11 9-12 ring bonds : 1-2 1-6 2-3 3-4 4-5 5-6 exact/norm bonds : 2-9 4-8 exact bonds : 5-7 6-10 8-11 9-12 normalized bonds : 1-2 1-6 2-3 3-4 4-5 isolated ring systems :

containing 1 :

Match level :

1:Atom 2:Atom 3:Atom 4:Atom 5:Atom 6:Atom 7:CLASS 8:CLASS 9:CLASS 10:CLASS 11:CLASS 12:CLASS

L1STRUCTURE UPLOADED

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L1 STR

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COMPLETE PROJECTED ITERATIONS: 360 9 TO

PROJECTED ANSWERS: 1 TO 80

1 SEA SSS SAM L1

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L2 1 ANSWERS REGISTRY COPYRIGHT 2007 ACS on STN
IN Pyrimidine, 5-fluoro-2,4-bis[(triethylsilyl)oxy]- (9CI)

MF C16 H31 F N2 O2 Si2

PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT

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100.0% PROCESSED 147 ITERATIONS

6 ANSWERS

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FULL ESTIMATED COST

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=> s 13

L4 298 L3

=> s 14 and process 2497806 PROCESS

L5 7 L4 AND PROCESS

=> s 14 and doxifluridine

293 DOXIFLURIDINE

L6 2 L4 AND DOXIFLURIDINE

=> s 15 or 16

L7 7 L5 OR L6

=> d 17 1-7 bib abs

- L7 ANSWER 1 OF 7 CAPLUS COPYRIGHT 2007 ACS on STN
- AN 2004:962291 CAPLUS
- DN 143:60175
- TI Efficient Pyrimidine N-1-Alkylation via Activation of Electron Rich Olefins with Oxoammonium Salts: Synthesis of Methoxy TEMPO Substituted Pyrimidine Nucleoside Analogs
- AU Church, Kevin M.; Holloway, Liesel M.; Matley, Ryan C.; Brower, Robert J.,
- CS Department of Chemistry, University of Dayton, Dayton, OH, 45469, USA
- SO Nucleosides, Nucleotides & Nucleic Acids (2004), 23(11), 1723-1738 CODEN: NNNAFY; ISSN: 1525-7770
- PB Taylor & Francis, Inc.
- DT Journal
- LA English
- OS CASREACT 143:60175
- AB The use of oxoammonium salts in a formal 1,2-addition process to olefins giving nucleoside analogs as products was described. Specifically, oxoammonium salts can be added to a solution of olefin and silylated heterocycle to give Methoxy-TEMPO substituted nucleoside analogs after hydrolytic workup and chromatog. purification
- RE.CNT 29 THERE ARE 29 CITED REFERENCES AVAILABLE FOR THIS RECORD ALL CITATIONS AVAILABLE IN THE RE FORMAT

L7 ANSWER 2 OF 7 CAPLUS COPYRIGHT 2007 ACS on STN

AN 2002:664103 CAPLUS

DN 137:169742

TI Step-wise and one-pot processes for the preparation of a uridine derivative, namely 2',3'-O-alkylidene-5-fluorouridine, from 5-fluorouracil

IN Cotticelli, Giovanni; De Meglio, Giuseppe; Monciardini, Simone; Ordanini, Giancarlo

PA Pro.Bio.Sint. Srl, Italy

SO Ital., 17 pp. CODEN: ITXXBY

DT Patent

LA Italian

FAN.CNT 1

OS CASREACT 137:169742; MARPAT 137:169742

GI

AB Title compds. I [R2, R3 = H, C1-4 alkyl; or R2R3 = (CH2)4 or (CH2)5] are prepared by an improved method. In particular, I are prepared in 4 steps, which may be carried out sep. or in a single pot. Specifically, (1) 5-fluorouracil (II) is treated with a silylating agent until it is completely solubilized; (2) the resultant silylated product III [R = H or trialkylsilyl, especially SiMe3] is treated with a β-D-ribose tetraester IV [R1 = alkanoyl, benzoyl, or benzoyl substituted with Me, OMe, NO2, F, Br, or C1] in the presence of a condensing agent; (3) the obtained 5-fluorouridine triester V is hydrolyzed; and finally (4) the resulting 5-fluorouridine (VI) is treated with a ketone R2COR3 in an acidic medium. For example, in a one-pot preparation of I [R2 = R3 = Me] from II, using C1SiMe3 and HMDS in step 1, 1β-D-tetraacetylribose in step 2, aqueous NH3

in MeOH in step 3, and acetone containing H2SO4 in step 4, an overall yield of approx. 70% was obtained, with a product purity of 99.7% by HPLC. Examples of the individual steps for the case of R2 = R3 = Me are also given. I are known intermediates for the cytostatic agent doxifluridine.

- L7 ANSWER 3 OF 7 CAPLUS COPYRIGHT 2007 ACS on STN
- AN 2002:402634 CAPLUS
- DN 138:187722
- TI Improved process for the synthesis of Doxifluridine
- AU Dong, Hui; Qian, Hong
- CS Anhui Keyu Research Institute of Drugs, Hefei, 230001, Peop. Rep. China
- SO Zhongguo Yiyao Gongye Zazhi (2002), 33(3), 108-110 CODEN: ZYGZEA; ISSN: 1001-8255
- PB Zhongguo Yiyao Gongye Zazhi Bianjibu
- DT Journal
- LA Chinese
- OS CASREACT 138:187722
- AB Doxifluidine was synthesized from 5-fluorouracil via tri-Me silylation, condensation, saponification, ketal formation, iodation, hydrogenolysis, and hydrolysis, giving the product with overall yield 54.6%.

L7 ANSWER 4 OF 7 CAPLUS COPYRIGHT 2007 ACS on STN

AN 1996:501735 CAPLUS

DN 125:248308

TI Stereocontrolled De Novo Synthesis of β -2'-Deoxyribonucleosides

AU Park, Minnie; Rizzo, Carmelo J.

CS Department of Chemistry, Vanderbilt University, Nashville, TN, 37235, USA

SO Journal of Organic Chemistry (1996), 61(18), 6092-6093

CODEN: JOCEAH; ISSN: 0022-3263

PB American Chemical Society

DT Journal

LA English

OS CASREACT 125:248308

GI

AB A stereocontrolled, de novo preparation of β -2'-deoxyribonucleosides, e.g. I (B = uracil, thymine), has been achieved. The process required just four steps from com. available 1,3,5-tribenzoyl- α -D-ribose and proceeded in high overall yield. The key synthetic strategy was the use of a m-trifluoromethylbenzoyl group at the 2-position of ribose to direct the glycosidation reaction and also serve as a deoxygenation precursor. The five 2'-deoxynucleosides that were synthesized were 2'-deoxyuridine, thymidine, 5-fluoro-2'-deoxyuridine, 5-trifluoromethyl-2'-deoxyuridine (trifluiridine) and 2'-deoxycytidine.

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ANSWER 4 OF 7 CAPLUS COPYRIGHT 2007 ACS on STN
     1996:501735 CAPLUS
AN
     125:248308
DN
ΤI
     Stereocontrolled De Novo Synthesis of \beta-2'-Deoxyribonucleosides
AU
     Park, Minnie; Rizzo, Carmelo J.
CS
     Department of Chemistry, Vanderbilt University, Nashville, TN, 37235, USA
     Journal of Organic Chemistry (1996), 61(18), 6092-6093
SO
     CODEN: JOCEAH; ISSN: 0022-3263
PB
     American Chemical Society
DT
     Journal
     English
LA
     CASREACT 125:248308
OS
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GI

AB A stereocontrolled, de novo preparation of β -2'-deoxyribonucleosides, e.g. I (B = uracil, thymine), has been achieved. The process required just four steps from com. available 1,3,5-tribenzoyl- α -D-ribose and proceeded in high overall yield. The key synthetic strategy was the use of a m-trifluoromethylbenzoyl group at the 2-position of ribose to direct the glycosidation reaction and also serve as a deoxygenation precursor. The five 2'-deoxynucleosides that were synthesized were 2'-deoxyuridine, thymidine, 5-fluoro-2'-deoxyuridine, 5-trifluoromethyl-2'-deoxyuridine (trifluiridine) and 2'-deoxycytidine.

L7 ANSWER 5 OF 7 CAPLUS COPYRIGHT 2007 ACS on STN

AN 1992:41980 CAPLUS

DN 116:41980

TI Process for the manufacture of 2-deoxy-D-threo-pentofuranosides, intermediates for their manufacture and their use

IN Saischek, Gerald; Fuchs, Franz; Dax, Karl; Billiani, Gertrude

PA Chemische Produkte Saischek G.m.b.H. (CHEMPROSA), Austria

SO Eur. Pat. Appl., 32 pp.

CODEN: EPXXDW

DT Patent

LA English

FAN_CNT 1

FAN.	JNT	7															
	PAT	rent i	.OV			KIND		DATE		1	APP	LICATION	NO.		D	ATE	
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ΡI		45058				A2		1991	1009	ŀ	EΡ	1991-1052	231		1	991040	3
	EΡ	45058	85			A3		1993	0310								
		R:	AT,	BE,	CH,	DE,	DK,	ES,	FR,	GB,	GR	IT, LI	LU,	NL,	SE		
	AT	9000	791			Α		1991	1015	7	TΑ	1990-791			1	990040	4
	ΑT	3945	64			В		1992	0511								
	ΑT	9001	410			A		1992	0115	i	TΑ	1990-1410)		1	990070	3
	ΑT	39542	26			В		1992	1228								
	CA	2039	403			A1		1991	1005	(CA	1991-2039	9403		1	991032	8
	FI	9101	603			Α		1991	1005]	FI	1991-1603	3		1	991040	3
	HU	5722	5			A2		1991	1128]	HU	1991-108	6		1	991040	3
	JP	0509	7885			Α		1993	0420		JP	1991-154	206		1	991040	4
PRAI	AT	1990	-791			Α		1990	0404								
	ΑT	1990	-141	0		Α		1990	0703								
os	CA:	SREAC'	Т 11	6:41	980;	MARP	ΑT	116:	41980)							
GI																	

$$R^{1}OCH_{2}$$
 O
 OR_{2}
 OR_{3}
 OR_{4}
 OR_{4}
 OR_{5}
 OR_{5}
 OR_{5}
 OR_{6}
 OR_{6}
 OR_{6}
 OR_{7}
 OR_{7}

AB Title compds. I (R = alkyl; R1 = protective group, R2 = H) were prepared from the erythro isomers. Thus, erythro-pentofuranoside II (R1, R2 = H) was pivaloylated and mesylated to give II (R1 = Me3CCO, R2 = MeSO2) which was treated with BzONa to give I (R = Me, R1 = Bz, R2 = Me3CCO). The latter compound was debenzoylated, mesylated, and treated with Bu4NF to give fluoride III which was deacylated and deglycosidated to give 2,3-dideoxy-3-fluoro-D-erythro-pentose.

ANSWER 6 OF 7 CAPLUS COPYRIGHT 2007 ACS on STN

AN 1991:457020 CAPLUS

DN 115:57020

TI A new development of mechanochemical solid-state polymerization of vinyl monomers: prodrug syntheses and its detailed mechanistic study

ΑU Kuzuya, Masayuki; Kondo, Sinichi; Noguchi, Akihiro

CS Lab. Pharm. Phys. Chem., Gifu Pharm. Univ., Gifu, 502, Japan

Macromolecules (1991), 24(14), 4047-53 CODEN: MAMOBX; ISSN: 0024-9297 SO

DT Journal English LA

GI

AcNH
$$O_2$$
CCMe = CH₂

$$\begin{array}{c|c} O & CH_2CO_2CH_2CH_2O_2CCMe = CH_2\\ \hline MeN & N \\ \hline N & Me \end{array}$$

$$O = \underbrace{\qquad \qquad \qquad }_{NCH_2O_2CCMe = CH_2}$$

The first exptl. example of mechanochem. polymerization of specially AB synthesized

III

ΙI

solid-state monomers, methacryloyl derivs. of bioactive compds., I-III, is described. It has been shown, however, that there exists a monomer selectivity for efficiency of such reactions, although all the monomers studied undergo conventional solution polymns. using radical initiators. detailed mechanistic implications on the reaction of I, as a representative example, have been clarified based on ESR kinetics on its comparison with that of the corresponding mechanoradical formation of I polymer, the progressive changes in mol. weight distribution including its heterogeneity, and kinetics of the polymer conversion. It has been shown that the mechanochem. polymerization involves a mechanoradical-initiated polymerization

as a dominant process, and if one appropriate designs methacryloyl vinyl monomers along the line of the structural criteria derived from the quantum chemical considerations, one can make a variety of solid-state monomers undergo the mechanochem. polymns. essentially quant. Thus, the present result provides a novel and simple methodol. for polymeric prodrug syntheses of low heterogeneity through a totally dry process.

ANSWER 7 OF 7 CAPLUS COPYRIGHT 2007 ACS on STN

1988:406905 CAPLUS AN

DN 109:6905

ΤI New process for the preparation of purine and pyrimidine nucleosides

IN Noyori, Ryoji; Hayashi, Masahiko

PΑ

Sankyo Co., Ltd., Japan Jpn. Kokai Tokkyo Koho, 9 pp. SO CODEN: JKXXAF

DTPatent

LA Japanese

FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
ΡI	JP 62267294	Α	19871119	JP 1986-112135	19860516
PRAI	JP 1986-112135		19860516		

os CASREACT 109:6905

GI For diagram(s), see printed CA Issue.

AΒ The title nucleosides (I; R = pyrimidine or purine base residue; R1, R2 = protecting group; 1, m, n = 0-3 wherein 1 + m + n = 2, 3) (II) of medicinal interest were prepared by glycosidation of 1-fluoro sugar derivs. I (R = F) with purines or pyrimidines silylated with 1-3 Me3Si groups. SiF4 in MeCN was added at 0° to a solution of 2,3,5-tri-O-benzyl- α -D-ribofuranosyl fluoride and bis(trimethylsilyl)uracil in MeCN and the mixture was stirred 2h at 0° to give 85% a 1:5.2 mixture of $1-(2',3',5'-tri-O-benzyl-\alpha-$ and $\beta-ribofuranosyl)uracil.$

=> d 15 1-2 bib abs

- L5 ANSWER 1 OF 7 CAPLUS COPYRIGHT 2007 ACS on STN
- AN 2004:962291 CAPLUS
- DN 143:60175
- TI Efficient Pyrimidine N-1-Alkylation via Activation of Electron Rich Olefins with Oxoammonium Salts: Synthesis of Methoxy TEMPO Substituted Pyrimidine Nucleoside Analogs
- AU Church, Kevin M.; Holloway, Liesel M.; Matley, Ryan C.; Brower, Robert J., III
- CS Department of Chemistry, University of Dayton, Dayton, OH, 45469, USA
- SO Nucleosides, Nucleotides & Nucleic Acids (2004), 23(11), 1723-1738 CODEN: NNNAFY; ISSN: 1525-7770
- PB Taylor & Francis, Inc.
- DT Journal
- LA English
- OS CASREACT 143:60175
- AB The use of oxoammonium salts in a formal 1,2-addition process to olefins giving nucleoside analogs as products was described.

 Specifically, oxoammonium salts can be added to a solution of olefin and silylated heterocycle to give Methoxy-TEMPO substituted nucleoside analogs after hydrolytic workup and chromatog, purification
- after hydrolytic workup and chromatog. purification
 RE.CNT 29 THERE ARE 29 CITED REFERENCES AVAILABLE FOR THIS RECORD
 ALL CITATIONS AVAILABLE IN THE RE FORMAT

ANSWER 2 OF 7 CAPLUS COPYRIGHT 2007 ACS on STN

AN 2002:664103 CAPLUS

DN 137:169742

TI Step-wise and one-pot processes for the preparation of a uridine derivative, namely 2',3'-O-alkylidene-5-fluorouridine, from 5-fluorouracil

Cotticelli, Giovanni; De Meglio, Giuseppe; Monciardini, Simone; Ordanini, IN Giancarlo

PA Pro.Bio.Sint. Srl, Italy

Ital., 17 pp. CODEN: ITXXBY SO

DT Patent

LA Italian

FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
ΡI	IT 1302006	B1	20000720	IT 1998-MI1852	19980806
PRAI	IT 1998-MI1852		19980806		
os	CASREACT 137:169742	; MARPA	T 137:169742		

GI

AΒ Title compds. I [R2, R3 = H, C1-4 alkyl; or R2R3 = (CH2)4 or (CH2)5] are prepared by an improved method. In particular, I are prepared in 4 steps, which may be carried out sep. or in a single pot. Specifically, (1) 5-fluorouracil (II) is treated with a silylating agent until it is completely solubilized; (2) the resultant silylated product III [R = H or]trialkylsilyl, especially SiMe3] is treated with a β -D-ribose tetraester IV [R1 = alkanoyl, benzoyl, or benzoyl substituted with Me, OMe, NO2, F, Br, or Cl] in the presence of a condensing agent; (3) the obtained 5-fluorouridine triester V is hydrolyzed; and finally (4) the resulting 5-fluorouridine (VI) is treated with a ketone R2COR3 in an acidic medium. For example, in a one-pot preparation of I [R2 = R3 = Me] from II, using ClSiMe3 and HMDS in step 1, 1β -D-tetraacetylribose in step 2, aqueous $N\bar{H}3$

in MeOH in step 3, and acetone containing H2SO4 in step 4, an overall yield of approx. 70% was obtained, with a product purity of 99.7% by HPLC. Examples of the individual steps for the case of R2=R3=Me are also given. I are known intermediates for the cytostatic agent doxifluridine.

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